

1. A compound of the formula



A is -H, amidino, or substituted amidino;

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl;



E is -H or, in combination with F, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring,

F is the  $\alpha$ -carbon side chain of a naturally occurring  $\alpha$ -amino acid, -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring,

25 G is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, OR<sup>1</sup>, or NR<sup>1</sup>R<sup>2</sup>, where R<sup>1</sup> and R<sup>2</sup> are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl, and

$r$  is 0 or 1;

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R is H-, alkyl, aryl, or aralkyl;

m is 1 to 5;

p is 1 to 4;

5 or a pharmaceutically acceptable salt thereof.

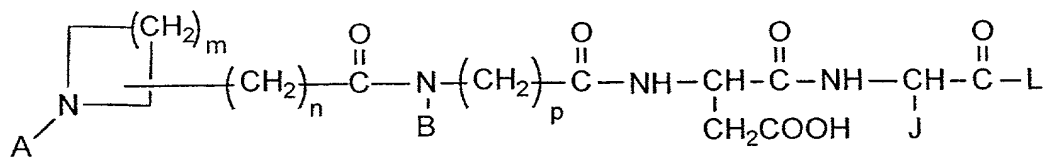
2. A compound of claim 1 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring, provided that heterocyclylalkyl is other than indol-3-ylmethyl.

15           3.           A compound of claim 2 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring.

4. A compound of claim 3 wherein F is -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, 4-aminobutyl, 3-guanidinopropyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, or, in combination with E, forms a 4-, 5-, 6-, or 7-membered azacycloalkane ring.

5. A compound of claim 4 wherein B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, or alkylcycloalkylalkyl.

6. A compound of the formula



wherein:

35            A is -H or amidino,

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl,

5 J is -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl,

10 L is  $OR^1$ , or  $NR^1R^2$ , where  $R^1$  and  $R^2$  are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl, or alkylaralkyl,

m is 1 to 5,

n is 2 to 6, and

15 p is 1 or 2;

or a pharmaceutically acceptable salt thereof.

20 7. A compound of claim 6 wherein

A is -H,

B is alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl,

25 J is -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, or alkylcycloalkylalkyl,

m is 3, and

n is 3 or 4.

30 8. A compound of claim 7 wherein

A is -H,

35 B is alkyl,

J is alkyl, cycloalkyl, or cycloalkylalkyl,

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R<sup>1</sup> and R<sup>2</sup> are independently -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl,

m is 3,

5

n is 3 or 4, and

p is 1.

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9. A compound of claim 7 which is

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] valine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-D-valine,

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N-[N-[N-(3-(piperidin-4-yl)propanoyl)-N-ethylglycyl]aspartyl] valine,

N-[N-[N-(5-(piperidin-4-yl)pentanoyl)-N-ethylglycyl]aspartyl] valine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-cyclohexyl glycine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] norleucine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-(2,2-dimethyl)prop3-yl glycine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cis-decahydronaphth-2-ylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-aminocyclohexanecarboxylic acid,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexyl-D-alanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-decahydronaphth-1-ylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclooctylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-adamant-1-ylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-(4-cyclohexyl)cyclohexylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cycloheptylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-cyclohexylpropylglycine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclooctylmethylalanine,

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclopentylalanine

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-decahydronaphth-1-yl alanine, or

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-a-(2-cyclohexylethyl)glycine,

30

or a pharmaceutically acceptable salt thereof.

10. A compound of claim 7 which is

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N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl] phenylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-(1,2,3,4)-tetrahydronaphth-5-ylalanine,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-naphth-1-yl  
alanine, or

5 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-naphth-2-yl  
alanine,

or a pharmaceutically acceptable salt thereof.

10 11. A compound of claim 7 which is

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cyclohexyl  
alanine amide,

15 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-  
cyclooctylalanine amide,

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-  
cyclohexylmethylalanine amide, or

20 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-cyclohexylalanine  
ethyl amide,

or a pharmaceutically acceptable salt thereof.

25 12. A compound of claim 6 which is

N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-L-b-cyclohexyl  
alanine, ethyl ester,

30 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-b-  
cyclohexylmethylalanine ethyl ester, or

3-Adamant-1-ylpropyl-N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartate,

35 or a pharmaceutically acceptable salt thereof.

13. A compound of claim 1 which is

2-cyclohexyl-N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-  
ethylamine, or

5 N-[N-[N-(4-(piperidin-4-yl)butanoyl)-N-ethylglycyl]aspartyl]-a-  
cyclohexylmethylethanolamine,

or a pharmaceutically acceptable salt thereof.

10 14. A pharmaceutical composition comprising an antithrombotic effective  
amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

15 15. A pharmaceutical composition comprising an antithrombotic effective  
amount of a compound of claim 6 and a pharmaceutically acceptable carrier.

16 16. A method for the prevention or treatment of thrombosis in a mammal in  
need of such therapy comprising the administration of a therapeutically effective amount  
of a compound of claim 1.

20 17. A method for the prevention or treatment of thrombosis in a mammal in  
need of such therapy comprising the administration of a therapeutically effective amount  
of a compound of claim 6.

25 18. A method for the prevention or treatment of thrombosis in a mammal in  
need of such therapy comprising the administration of a therapeutically effective amount  
of the composition of claim 13.

30 19. A method for the prevention or treatment of thrombosis in a mammal in  
need of such therapy comprising the administration of a therapeutically effective amount  
of the composition of claim 14.